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 DICTIONARY FILE UPDATES: 8 MAY 2009 HIGHEST RN 1144618-76-7

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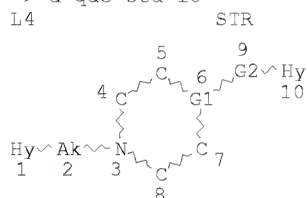
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VAR G1=C/N
 REP G2=(0-7) C
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS E8 C E1 N AT 1
 ECOUNT IS E8 C E1 O AT 10

GRAPH ATTRIBUTES:
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 NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE
 L6 9239 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-C6/ES AND OC4-C6/ES
 L8 192 SEA FILE=REGISTRY SUB=L6 SSS FUL L4

100.0% PROCESSED 9238 ITERATIONS 192 ANSWERS
 SEARCH TIME: 00.00.01

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FILE COVERS 1907 - 11 May 2009 VOL 150 ISS 20
FILE LAST UPDATED: 8 May 2009 (20090508/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

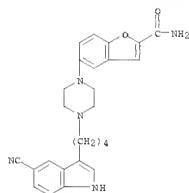
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This file contains CAS Registry Numbers for easy and accurate
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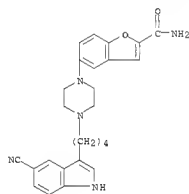
L48 ANSWER 1 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN
 AN 2005:57852:7 HCAPLUS
 DN 143:126601
 TI Effect of vilazodone on 5-HT efflux and re-uptake in the guinea-pig dorsal raphe nucleus
 AU Roberts, Claire; Hagan, Jim J.; Bartoszyk, Gerd D.; Kew, James N. C.
 CS Psychiatry CEED, GlaxoSmithKline, Harlow, Essex, CM19 5AW, UK
 SO European Journal of Pharmacology (2005), 517(1-2), 59-63
 CODEN: EJPHAS; ISSN: 0014-2999
 PB Elsevier B.V.
 DT Journal
 LA English
 AB The effect of vilazodone, a putative selective serotonin re-uptake inhibitor (SSRI) with 5-HT (5-hydroxytryptamine)1A receptor partial agonist activity, was investigated on 5-HT efflux and 5-HT re-uptake half life in the guinea-pig dorsal raphe nucleus, using in vitro fast cyclic voltammetry. The SSRI, fluoxetine, significantly increased 5-HT efflux. In contrast, vilazodone had no effect on 5-HT efflux at 100 nM but significantly decreased 5-HT efflux at 1 µM. Co-perfusion of 8-OH-DPAT (± 8-hydroxy-2-(di-n-propylamino)tetralin) with fluoxetine significantly attenuated the fluoxetine-induced increase in 5-HT efflux. Co-perfusion of WAY 100635 with vilazodone did not attenuate the effect of vilazodone alone. In addition, the re-uptake half life for 5-HT was significantly increased by both fluoxetine and vilazodone. In conclusion, we have demonstrated that vilazodone (100 nM, 1 µM), in the guinea-pig dorsal raphe nucleus, blocks the serotonin transporter but does not display 5-HT1A receptor agonism.
 IT 163521-12-B, Vilazodone
 RL: PAC (Pharmacological activity); BIOL (Biological study) (effect of vilazodone on 5-HT efflux and re-uptake in the guinea-pig dorsal raphe nucleus)
 IT 163521-12-B, Vilazodone
 RL: PAC (Pharmacological activity); BIOL (Biological study) (effect of vilazodone on 5-HT efflux and re-uptake in the guinea-pig dorsal raphe nucleus)
 RN 163521-12-8 HCAPLUS
 CN 2-Benzofurancarboxamide, 5-[4-[(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN
 AN 2005:18469:9 HCAPLUS
 DN 142:329682
 TI Neurochemical evaluation of the novel 5-HT1A receptor partial agonist/serotonin reuptake inhibitor, vilazodone
 AU Hughes, Zoe A.; Starr, Kathryn R.; Langmead, Christopher J.; Hill, Matthew; Bartoszyk, Gerd D.; Hagan, James J.; Middlemiss, Derek N.; Dawson, Lee A.
 CS Psychiatry CEED, Glaxo Smith Kline, Neuropharmacology Research, Essex, CM19 5AW, UK
 SO European Journal of Pharmacology (2005), 510(1-2), 49-57
 CODEN: EJPHAS; ISSN: 0014-2999
 PB Elsevier B.V.
 DT Journal
 LA English
 AB Vilazodone has been reported to be an inhibitor of 5-hydroxytryptamine (5-HT) reuptake and a partial agonist at 5-HT1A receptors. Using [35S]GTPγS binding in rat hippocampal tissue, vilazodone was demonstrated to have an intrinsic activity comparable to the 5-HT1A receptor agonist 8-hydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT). Vilazodone (1-10 mg/kg p.o.) dose-dependently displaced in vivo [3H]DASB (N,N-dimethyl-2-(2-amino-4-cyanophenylthio)benzylamine) binding from rat cortex and hippocampus, indicating that vilazodone occupies 5-HT transporters in vivo. Using in vivo microdialysis, vilazodone (10 mg/kg p.o.) was demonstrated to cause a 2-fold increase in extracellular 5-HT but no change in noradrenaline or dopamine levels in frontal cortex of freely moving rats. In contrast, administration of 8-OH-DPAT (0.3 mg/kg s.c.), either alone or in combination with a serotonin specific reuptake inhibitor (SSRI; paroxetine, 3 mg/kg p.o.), produced no increase in cortical 5-HT while increasing noradrenaline and dopamine 2 and 4 fold, resp. A 2-fold increase in extracellular 5-HT levels (but no change in noradrenaline or dopamine levels) was observed after combination of the 5-HT1A receptor antagonist, N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-(pyridinyl)cyclohexanecarboxamide (WAY-100635; 0.3 mg/kg s.c.) and paroxetine (3 mg/kg p.o.). In summary, vilazodone behaved as a high efficacy partial agonist at the rat hippocampal 5-HT1A receptors in vitro and occupied 5-HT transporters in vivo. In vivo vilazodone induced a selective increase in extracellular levels of 5-HT in the rat frontal cortex. This profile was similar to that seen with a 5-HT1A receptor antagonist plus an SSRI but in contrast to 8-OH-DPAT either alone or in combination with paroxetine.
 IT 163521-12-B, Vilazodone
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (neurochem. evaluation of novel 5-HT1A receptor partial agonist and serotonin reuptake inhibitor vilazodone)
 IT 163521-12-B, Vilazodone
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (neurochem. evaluation of novel 5-HT1A receptor partial agonist and serotonin reuptake inhibitor vilazodone)
 RN 163521-12-8 HCAPLUS
 CN 2-Benzofurancarboxamide, 5-[4-[(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

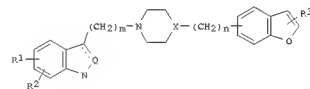
L48 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)



RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN
 AN 2004:1154699 HCAPLUS
 DN 142:93856
 TI Preparation of indolylbutylpiperazinylbenzofurancarboxamides as serotonin receptor ligands and/or serotonin reuptake inhibitors
 IN Heinrich, Timo; Boettcher, Henning; Schlamann, Kai; Boelsmann, Guenter; Van Ameringen, Christoph; Bartoszyk, Gerd; Leibrock, Joachim; Sayfiad, Christoph
 PA March Patent GmbH, Germany
 SO PCT Int. Appl., 45 pp.
 CODEN: PIIXD2
 DT Patent
 LA German
 FAH.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO-----2004112226	A1	20041229	2004WO-EP0005547	20040524 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
FW: BW, GH, GM, KE, LS, MW, NG, ND, SD, SE, SI, SZ, UG, ZM, ZW, AG, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MK, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE-----10326939	A1	20050105	2003DE-100026939	20030616 <--
AU-2004249372	A1	20041229	2004AU-000249372	20040524 <--
CA-----2529299	A1	20041229	2004CA-002529299	20040524 <--
EP-----1633741	A1	20060315	2004EP-000734515	20040524 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN-----1805953	A	20060719	2004CN-080016700	20040524 <--
BR--2004011533	A	20060801	2004BR-000011533	20040524 <--
JP--2004527707	T	20061107	2004JP-000515787	20040524 <--
MC--2005013538	A	20060309	2005MC-000013538	20051113 <--
US--20070099933	A1	20070503	2005US-000560734	20051215 <--
PRAI 2003DE-100026939	A	20030616 <--		
2004WO-EP0005547	W	20040524 <--		
OS MARPAT 142:93856				
GI				



AB Title compds. [I; X = N, CH; R1-R3 = OH, OA, cyano, halo, COR4, CH2R4; R4 = OH, OA, NH2, NHR, NR2; O = CH2, CO, CH; A, B = alkyl, alkoxy, alkylenyl, alkoxyalkyl; m = 2-6; n = 0-4; dotted line = optional double bond], were prepared. Thus, 5-[4-[(5-cyano-3-indolyl)butyl]-1-piperazinyl]benzofuran-2-carboxamide in Me2SO was treated dropwise with concentrate HCl under ice cooling followed by stirring for 10 h to give 5-[4-[(5-cyano-2-oxo-2,3-dihydro-1H-indol-3-yl)butyl]-1-piperazinyl]benzofuran-2-carboxamide as the dihydrochloride. The latter showed 5-HT1A receptor binding activity with IC50 = 1.7 nM and serotonin reuptake inhibitor activity with IC50 = 2.9 nM. I are useful as anxiolytics, antidepressants, neuroleptics, antihypertensives and/or for pos. influencing obsessive-compulsive behavior, sleeping disorders, tardive dyskinesia, learning disorders, age-related memory defects, eating disorders such as bulimia, and/or sexual dysfunction.
 IT 714950-70-6P 816438-30-9P 816438-32-2P
 816438-35-4P 816438-37-6P 816438-39-8P
 816438-41-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

148 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)

AN 2004:1154698 HCAPLUS

DN 142:93855

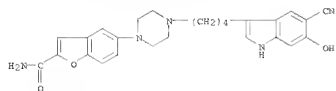
TI Preparation of indolylbutylpiperazinybenzofurancarboxamides as serotonin reuptake inhibitors

IN **163521-12-8** **714950-88-6** **765935-80-6**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of indolylbutylpiperazinybenzofurancarboxamides as serotonin reuptake inhibitors)

IT **714950-10-68**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of indolylbutylpiperazinybenzofurancarboxamides as serotonin reuptake inhibitors)

RN **714950-10-6** HCAPLUS

CN **2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-6-hydroxy-1H-indol-3-yl)butyl]-1-piperazinyl]-** (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
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148 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN

AN 2004:1154698 HCAPLUS

DN 142:93855

TI Preparation of indolylbutylpiperazinybenzofurancarboxamides as serotonin reuptake inhibitors

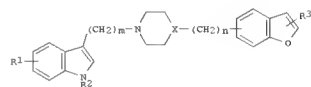
IN **163521-12-8** **714950-88-6** **765935-80-6**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of indolylbutylpiperazinybenzofurancarboxamides as serotonin reuptake inhibitors)

IT **714950-10-68**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of indolylbutylpiperazinybenzofurancarboxamides as serotonin reuptake inhibitors)

RN **714950-10-6** HCAPLUS

CN **2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-6-hydroxy-1H-indol-3-yl)butyl]-1-piperazinyl]-** (CA INDEX NAME)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO-2004113325	A1	20041229	2004WO-EP0005546	20040524 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CE, DE, DK, DM, DS, EC, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SJ, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZW, ZM			
RM:	BM, CH, GM, KE, LS, MG, ME, NA, SD, SL, SZ, TG, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE-10325940	A1	20050105	2003DE-100026940	20030616 <--
AU-2004249371	A1	20041229	2004AU-000249371	20040524 <--
CA-2529298	A1	20041229	2004CA-002529298	20040524 <--
EP-1633742	A1	20060315	2004EP-000734520	20040524 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
BR-2004011456	A	20060718	2004BR-000011456	20040524 <--
CN-1805994	A	20060719	2004CN-000016748	20040524 <--
JP-2006527706	T	20061207	2006JP-000515786	20040524 <--
MX-2005013537	A	20060309	2005MX-000013537	20051213 <--
KR-2006021896	A	20060308	2005KR-000724100	20051213 <--
US-20060160824	A1	20060720	2005US-000560737	20051213 <--
PRAI 2003DE-100026940	A	20030616	<--	
2004WO-EP0005546	W	20040524	<--	
OS HCAPLUS 142:93855				
GI				



AB Title compds. [I: X = R, CH; R1, R3 = H, OH, OA, cyano, halo, COR4, CH2R4; R2 = H, (halo-substituted) alkyl, alkylaryl, alkylheteroaryl, heteroaryl; R4 = OH, OA, NH2, RHB, NB2; A, B = alkyl; m = 2-6; n = 0-4], were prepared Thus, 3-(4-chlorobutyl)-1H-indole-5-carbonitrile in THF was added to NaH in THF followed by stirring for 30 min., addition of MeI in THF, and stirring for 30 min. at room temperature to give N-methylated product, which was heated with 5-(piperazin-1-yl)benzofuran-2-carboxamide and Et3N in N-methylpyrrolidine at 120° for 4 h to give 5-[4-[4-(5-cyano-1-methyl-1H-indol-3-yl)butyl]piperazin-1-yl]benzofuran-2-carboxamide. The latter showed serotonin reuptake inhibitory activity with IC50 = 2.6 nM. I are useful as anxiolytic, antidepressant, neuroleptics, antihypertensives, and/or for pos. influencing obsessive compulsive disorders, sleep disorders, tardive dyskinesia, learning disorders, geriatric memory loss, bulimia, irritable bowel syndrome, and sexual dysfunction.

148 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)

AN 2004:1154698 HCAPLUS

DN 141:360593

TI Effects of systemic injections of Vilazodone, a selective serotonin reuptake inhibitor and serotonin 1A receptor agonist, on anxiety induced by predator stress in rats

AU Adams, Robert; **Bartoszyk, Gerd D.**; Burton, Paul
 CS Department of Psychology, Memorial University, St. John's, A1B 3X9, Can.
 SO European Journal of Pharmacology (2004), 504(1-2), 65-77
 CODEN: EJPHAC; ISSN: 0014-2999

PB Elsevier B.V.

DT Journal

LA English

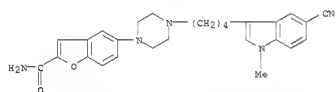
AB We examined the effect of Vilazodone, a selective serotonin reuptake inhibitor (SSRI) and serotonin 1A (5-HT1A) receptor agonist (Bartoszyk, G.D., Hegenbart, R., Ziegler, H., 1997, EMD 68843, a serotonin reuptake inhibitor with selective presynaptic 5-HT1A receptor agonistic properties, Eur. J. Pharmacol. 322, 147-153), on change in affect following predator stress. Vilazodone and vehicle injection (i.p.) occurred either 10 min after predator stress (prophylactic testing), or 90 min prior to behavioral testing for the effects of predator stress (therapeutic testing). Predator stress involved unprotected exposure of rats to a domestic cat. Behavioral effects of stress were evaluated with hole board, plus-maze, and acoustic startle tests 1 wk after stress. Predator stress increased anxiety-like behavior in the plus-maze and elevated response to acoustic startle. In prophylactic testing, Vilazodone affected stress potentiation of startle at doses above 5 mg/kg. Vilazodone increased stress elevation of startle at 10 mg/kg. Higher doses of Vilazodone (20 and 40 mg/kg) blocked stress potentiation of startle. In contrast, Vilazodone had no effect on stress potentiation of anxiety in the plus-maze. In therapeutic testing, Vilazodone increased stress elevation of startle at all doses. In contrast, therapeutic Vilazodone had no effect on stress potentiation of anxiety in the plus-maze. Taken together, the data suggest a prophylactic potential for Vilazodone in the treatment of changes in hypervigilance following severe stress.

IT **163521-12-8**, Vilazodone
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (effects of SSRI and serotonin 1A receptor agonist, Vilazodone, on anxiety induced by predator stress in rats)

IT **163521-12-8**, Vilazodone
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (effects of SSRI and serotonin 1A receptor agonist, Vilazodone, on anxiety induced by predator stress in rats)

RN **163521-12-8** HCAPLUS

CN **2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1-methyl-1H-indol-3-yl)butyl]-1-piperazinyl]-** (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

148 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN

AN 2004:1154698 HCAPLUS

DN 141:360593

TI Effects of systemic injections of Vilazodone, a selective serotonin reuptake inhibitor and serotonin 1A receptor agonist, on anxiety induced by predator stress in rats

AU Adams, Robert; **Bartoszyk, Gerd D.**; Burton, Paul
 CS Department of Psychology, Memorial University, St. John's, A1B 3X9, Can.
 SO European Journal of Pharmacology (2004), 504(1-2), 65-77
 CODEN: EJPHAC; ISSN: 0014-2999

PB Elsevier B.V.

DT Journal

LA English

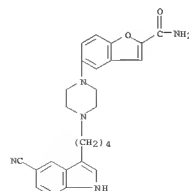
AB We examined the effect of Vilazodone, a selective serotonin reuptake inhibitor (SSRI) and serotonin 1A (5-HT1A) receptor agonist (Bartoszyk, G.D., Hegenbart, R., Ziegler, H., 1997, EMD 68843, a serotonin reuptake inhibitor with selective presynaptic 5-HT1A receptor agonistic properties, Eur. J. Pharmacol. 322, 147-153), on change in affect following predator stress. Vilazodone and vehicle injection (i.p.) occurred either 10 min after predator stress (prophylactic testing), or 90 min prior to behavioral testing for the effects of predator stress (therapeutic testing). Predator stress involved unprotected exposure of rats to a domestic cat. Behavioral effects of stress were evaluated with hole board, plus-maze, and acoustic startle tests 1 wk after stress. Predator stress increased anxiety-like behavior in the plus-maze and elevated response to acoustic startle. In prophylactic testing, Vilazodone affected stress potentiation of startle at doses above 5 mg/kg. Vilazodone increased stress elevation of startle at 10 mg/kg. Higher doses of Vilazodone (20 and 40 mg/kg) blocked stress potentiation of startle. In contrast, Vilazodone had no effect on stress potentiation of anxiety in the plus-maze. In therapeutic testing, Vilazodone increased stress elevation of startle at all doses. In contrast, therapeutic Vilazodone had no effect on stress potentiation of anxiety in the plus-maze. Taken together, the data suggest a prophylactic potential for Vilazodone in the treatment of changes in hypervigilance following severe stress.

IT **163521-12-8**, Vilazodone
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (effects of SSRI and serotonin 1A receptor agonist, Vilazodone, on anxiety induced by predator stress in rats)

IT **163521-12-8**, Vilazodone
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (effects of SSRI and serotonin 1A receptor agonist, Vilazodone, on anxiety induced by predator stress in rats)

RN **163521-12-8** HCAPLUS

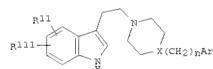
CN **2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1-methyl-1H-indol-3-yl)butyl]-1-piperazinyl]-** (CA INDEX NAME)



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

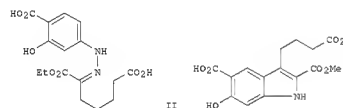
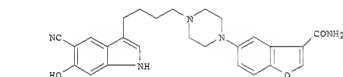
L48 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN
AN 2004:52891 HCAPLUS
DN 141:89110
II Preparation of piperazinyliethylindolecarbonitriles as serotonin reuptake inhibitors and 5-HT1A/5-HT1B receptor ligands.
IN **Heinrich, Timo**; Boettcher, Henning; Schlamann, Kai; Koelzemann, Guenter; van Amsterdarn, Christoph; Bartoszyk, Gerard; Laibbrock, Joachim; Seyfried, Christoph
PA **Merck Patent GmbH**, Germany
SO Ger. Offen., 23 pp.
CODEN: GKKXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE-----10259244	A1	20040701	2002DB-100059244	20021217 <--
CA-----2510169	A1	20040701	2003CA-002510169	20031127 <--
WO-----2004054972	A1	20040701	2003WO-EP0013374	20031127 <--
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AU-----200329845	A1	20040709	2003AU-00029845	20031127 <--
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OS MARPAT 141:89110				
GI				



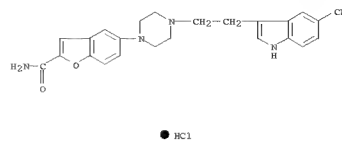
AB Title compds. [I; R11, R111 = H, cyano, halo, A, OA, OH, COR2, CH2R2; R2 = OH, OA, NH2, NHA, NA; A = (fluoro-substituted) alkyl optionally interrupted by O, S, CH2CH2; Ar = (partially or completely saturated) (substituted) mono- or polycyclic carbo- or heterocyclyl; n = 0-4), were prepared thus, 3-(2-chloroethyl-1-yl)-1H-indole-5-carbonitrile (preparation given), 1-(2,3-dihydrobenzo[1,4]-dioxin-5-yl)piperazine, ethyldiisopropylamine, and N-methylpyrrolidinone were heated together at 120° for 12 h to give 3-[2-[4-(2,3-dihydrobenzo[1,4]-dioxin-5-yl)piperazin-1-yl]ethyl]-1H-indole-5-carbonitrile. The latter showed 5-HT1A, 5-HT1B, and 5-HT1B receptor activity at 11 nM, 17 nM, and 11 nM, resp.
II **714953-92-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyliethylindolecarbonitriles as serotonin reuptake inhibitors and receptor ligands)

L48 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN
AN 2004:346288 HCAPLUS
DN 141:88987
II A new synthesis of indole 5-carboxylic acids and 6-hydroxy-indole-5-carboxylic acids in the preparation of an c-hydroxylated metabolite of vilazodone
IN **Heinrich, Timo**; Boettcher, Henning
CU Preclinical Pharmaceutical Research, Merck KGaA, Darmstadt, 64293, Germany
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(10), 2681-2684
CODEN: BMCLES; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 141:88987
GI

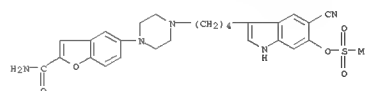


AB A major metabolite of the potential antidepressant vilazodone formed in rat, dog, monkey and human liver microsomes is 5-[4-[4-(5-cyano-6-hydroxy-1H-indol-3-yl)butyl]-1-piperazinyl]-2-benzofuran-carboxamide (I). For the construction of the salicyl-like substituted indole a synthesis of carboxirole was adapted using Japp-Klingemann-type Fischer-indole synthesis protocols. The reaction of 4-amino-2-hydroxybenzoic acid with 2-oxocyclohexanecarboxylic acid Et ester gave 4-[(5-carboxy-1-(ethoxycarbonyl)pentylidene)hydrazinol]-2-hydroxybenzoic acid (II). The Japp-Klingemann reaction of II gave a 6:1 mixture of 3-carboxy-6-hydroxy-2-(methoxycarbonyl)-1H-indole-3-butanolic acid (III) and its 4-hydroxy isomer, 3-carboxy-4-hydroxy-2-(methoxycarbonyl)-1H-indole-3-butanolic acid. Functional group interconversion of carboxylic acid via carbamate into cyanide was performed for III. The synthesis of carboxirole (i.e., 3-[4-(3,6-dihydro-6-phenyl-1(2H)-pyridinyl)butyl]-1H-indole-5-carboxylic acid) was also reported using this Japp-Klingemann-type Fischer-indole synthesis protocol.
II **714950-88-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of vilazodone metabolite via Japp-Klingemann-type Fischer indole synthesis of 2,5-dicarboxy-6-hydroxy-1H-indole-3-butanolate from [(carboxy(ethoxycarbonyl)pentylidene)hydrazinol] (hydroxy)benzoate intermediate)
II **163521-12-BOP**, Vilazodone, metabolites
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of vilazodone metabolite via Japp-Klingemann-type Fischer indole synthesis of 2,5-dicarboxy-6-hydroxy-1H-indole-3-butanolate from [(carboxy(ethoxycarbonyl)pentylidene)hydrazinol] (hydroxy)benzoate intermediate)
II **714950-70-6P**, 5-[4-[4-(5-cyano-6-hydroxy-1H-indol-3-yl)butyl]-1-piperazinyl]-2-benzofuran-carboxamide
RL: SPN (Synthetic preparation); PREP (Preparation)
(vilazodone metabolite; preparation of vilazodone metabolite via Japp-Klingemann-type Fischer indole synthesis of

L48 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)
II **714953-92-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyliethylindolecarbonitriles as serotonin reuptake inhibitors and receptor ligands)
RN 714953-92-1 HCAPLUS
CN 2-Benzofuran-carboxamide, 5-[4-[2-(5-cyano-1H-indol-3-yl)ethyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)



L48 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)
II **714950-88-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of vilazodone metabolite via Japp-Klingemann-type Fischer indole synthesis of 2,5-dicarboxy-6-hydroxy-1H-indole-3-butanolate from [(carboxy(ethoxycarbonyl)pentylidene)hydrazinol] (hydroxy)benzoate intermediate)
RN 714950-88-6 HCAPLUS
CN 2-Benzofuran-carboxamide, 5-[4-[4-[5-cyano-6-(methylsulfonyl)oxy]-1H-indol-3-yl]butyl]-1-piperazinyl)- (CA INDEX NAME)

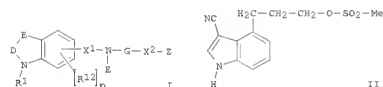


RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

148 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)
 AN 2003:83703 HCAPLUS
 DN 139:337888
 TI Preparation of indole-3-carbonitriles as excitatory amino acid antagonists for the treatment of neurodegenerative diseases
 IN Schadt, Oliver; Boettcher, Henning; Leibrock, Joachim; Schliemann, Kai; Heinrich, Timo; Hoelzemann, Guenter; Van Amstel, Christoph; Bartoszyk, Gerd; Seyfried, Christoph
 PA Maxek Patent G.m.b.H., Germany
 SO PCT Int. Appl., 104 pp.
 COEN: P1XXD2
 DT Patent
 LA German
 FAN, CH1 1

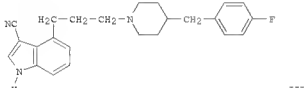
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RW:	GH, GM, KE, LS, MW, ME, SD, SE, SI, TG, UG, ZM, ZW, AM, AE, BY, KG, KZ, MD, RU, TJ, TM, AL, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GW, GU, GY, GZ, HN, HR, NE, SN, TD, TG			
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CA-2482655	A1	20031023	2003CA-002482655	20030411 <--
AU-200224064	A1	20031027	2003AU-00224064	20030411 <--
EP-1497279	A2	20030119	2003EP-000720455	20030411 <--
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2003WO-EP0003806	W	20030411		
2004US-000511155	A3	20041014		

OS MARPAT 139:337888
 GI



I

II



III

AB Title compds. I [R1 = H, A, SO2A; A = alkyl, alkoxyalkyl; D-E = R2C=CR4, R2C=CR4R5; R2, R3, R4, R5 = H, A, cycloalkyl, etc.; X1 = (CH2)7g, (CH2)7n-Q (CH2)8k; Q = O, S, NR6, etc.; R6 = H, A, cycloalkyl; R7, R8, R12 = definition as given for R2-R5; g = 1-6; h, k = 0-6; p = 0-3; E = H, A,

148 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)
 AN 2003:977808 HCAPLUS
 DN 138:44671
 TI Polymorphic forms of 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoylbenzofuran-5-yl)piperazine hydrochloride
 IN Bathe, Andreas; Heifert, Bernd; Neuenfeld, Steffen; Kniel, Heike; Bartels, Matthias; Rudolph, Susanne; Boettcher, Henning
 PA Maxek Patent G.m.b.H., Germany
 SO PCT Int. Appl., 103 pp.
 COEN: P1XXD2
 DT Patent
 LA English
 FAN, CH1 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO-2002102794	A3	20030320		
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RW:	GH, GM, KE, LS, MW, ME, SD, SE, SI, TG, UG, ZM, ZW, AM, AE, BY, KG, KZ, MD, RU, TJ, TM, AL, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GN, GW, GU, GY, GZ, HN, HR, NE, SN, TD, TG			
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AU-2002320822	A1	20030102	2002AU-002320822	20020605 <--
AU-2002320822	B2	20071115		
EP-1397357	A2	20040327	2002EP-000754627	20020605 <--
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EE-200400019	A	20040415	2004EE-000000039	20020605 <--
HU-2004000236	A2	20040628	2004HU-000000036	20020605 <--
CN-200400019	A	20040728	2002CN-000812226	20020605 <--
CN-200400019	C	20080430		
BR-2002010495	A	20040817	2002BR-000010495	20020605 <--
JP-2004534803	T	20041118	2002JP-00506147	20020605 <--
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MX-2003011723	A	20040319	2003MX-000011723	20031216 <--
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2002DE-100017006	A	20020416		
2003WO-EP0003806	W	20030411		
2003US-000481270	A3	20031219		

AB The invention relates to new crystalline modifications of the hydrochloride salt of 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoylbenzofuran-5-yl)piperazine, crystalline modification of the dihydrochloride of 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoylbenzofuran-5-yl)piperazine and amorphous 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoylbenzofuran-5-yl)piperazine-HCl (I) which are suitable in particular for the preparation of solid pharmaceuticals for the treatment or prevention of depressive disorders, anxiety disorders, bipolar disorders, mania, dementia, substance-related disorders, sexual dysfunctions, eating disorders, obesity, fibromyalgia, sleeping disorders, psychiatric disorders, cerebral infarction, tension, for the therapy of side-effects in the treatment of hypogonadism, secondary amenorrhea, premenstrual syndrome and undesired puerperal lactation. Thus, to a solution of 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoylbenzofuran-5-yl)piperazine in THF was added HCl. The I hydrate obtained was dried at 85-90°C to give I which was characterized by spectral properties.

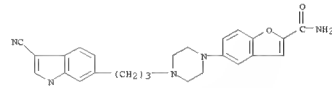
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 478917-96-3P 478917-97-4P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (preparation of polymorphic forms of
 (cyanoindolyl)butylcarbamoylbenzofuranylpiperazine hydrochloride)

148 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)
 AN 2003:83703 HCAPLUS
 DN 139:337888
 TI Preparation of indole-3-carbonitriles as excitatory amino acid antagonists for the treatment of neurodegenerative diseases
 IN Schadt, Oliver; Boettcher, Henning; Leibrock, Joachim; Schliemann, Kai; Heinrich, Timo; Hoelzemann, Guenter; Van Amstel, Christoph; Bartoszyk, Gerd; Seyfried, Christoph
 PA Maxek Patent G.m.b.H., Germany
 SO PCT Int. Appl., 104 pp.
 COEN: P1XXD2
 DT Patent
 LA German
 FAN, CH1 1

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WO-2003087086	A3	20040722		
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OS MARPAT 139:337888
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RE, CH1 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT



RE, CH1 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

148 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)
 AN 2003:977808 HCAPLUS
 DN 138:44671
 TI Polymorphic forms of 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoylbenzofuran-5-yl)piperazine hydrochloride
 IN Bathe, Andreas; Heifert, Bernd; Neuenfeld, Steffen; Kniel, Heike; Bartels, Matthias; Rudolph, Susanne; Boettcher, Henning
 PA Maxek Patent G.m.b.H., Germany
 SO PCT Int. Appl., 103 pp.
 COEN: P1XXD2
 DT Patent
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 FAN, CH1 1

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AU-2002320822	B2	20071115		
EP-1397357	A2	20040327	2002EP-000754627	20020605 <--
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CM 9

CPN 67-64-1
 CMF C3 H6 O

CM 10

CPN 67-64-1
 CMF C3 H6 O

CM 11

CPN 67-64-1
 CMF C3 H6 O

CM 12

CPN 67-64-1
 CMF C3 H6 O

CM 13

CPN 67-64-1
 CMF C3 H6 O

CM 14

CPN 67-64-1
 CMF C3 H6 O

CM 15

CPN 67-64-1
 CMF C3 H6 O

CM 16

CPN 67-64-1
 CMF C3 H6 O

CM 17

CPN 67-64-1
 CMF C3 H6 O

CM 18

CPN 67-64-1
 CMF C3 H6 O

CM 19

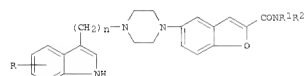
CPN 67-64-1
 CMF C3 H6 O

CM 20

CPN 67-64-1

148 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN
AN 2002:714050 HCAPLUS
DN 137:232676
II Preparation of 5-piperazinylbenzofuran-2-carboxamides as 5-HT1A agonists and 5-HT reuptake inhibitors
IN Dorsch, Dieter; Boettcher, Henning; Van Amsterdam, Christoph; Rautenberger, Wilfried; Bartoszyk, Gerd
PA Marek Patent GmbH, Germany
SO Ger. Offen. 14 pp.
CODEN: GMDXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE-----10112151	A1	20020519	2001DE-100012151	20010314 <--
CA-----2440726	A1	20021024	2002CA-002440726	20020227 <--
WO-----200083666	A1	20021024	2002WO-EP0002093	20020227 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, ES, FI, GB, GR, GU, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, PG, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, ME, SD, SH, SE, TE, UG, ZM, BW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU-----200302371	A1	20021028	2002AU-000302371	20020227 <--
EP-----1368346	A1	20031210	2002EP-00072940	20020227 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE-----20030447	A	20031215	2003EE-00000447	20020227 <--
HU-----200303449	A2	20040128	2003HU-000003449	20020227 <--
HU-----200303449	A3	20050228		
BR-----200008040	A	20040225	2002BR-000008040	20020227 <--
CN-----1494543	A	20040505	2002CN-000005013	20020227 <--
CN-----1444578	C	20060308		
JP-----2004527536	T	20040909	2002JP-000581421	20020227 <--
MX-----2003008140	A	20031212	2003MX-000008140	20030909 <--
US-----20050075269	A1	20050407	2003US-000471584	20030912 <--
US-----7244846	B2	20070717		
ZA-----2003007966	A	20050113	2003ZA-000007966	20031013 <--
IN-----200301319	A	20060310	2003IN-000001319	20031014 <--
PRAI 2001DE-100012151	A	20020314	<--	
2002WO-EP0002093	M	20020227	<--	
OS MARPAT 137:232676				
GI				

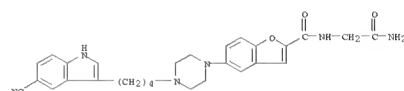


I

AB Title compds. (I: R = H, OH, OA, cyano, halo, CH2R3; R1 = (A-substituted) cycloalkyl, (branched) (substituted) (O- or S- CH2CH2- C, tribond, C-interrupted) alkyl; R2 = H, A, R1; or NR1R2 = 3-7 membered saturated (substituted) heterocyclyl; R3 = OH, OA, NR1R2; R4 = H, A; A = (branched) (fluorinated) (O-, S- CH2CH2-interrupted) C1-6 alkyl; n = 2-5) and salts thereof were prepared as 5-HT1A agonists and 5-HT reuptake inhibitors (no data). Thus, a mixture of 200 mg 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)piperazin-1-yl]benzofuran-2-carboxylic acid, 40 mg N,N'-dimethylacetamide (DMAc), N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride (EDC), and hydroxybenzotriazole hydrate (HOBt) in DMF was stirred for 18 h at room temperature to give 2-[5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)piperazin-1-

148 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)

ylbenzofuran-1-yl-N-(carbamoylmethyl)amide.
II 459124-98-2P 459124-99-3P 459125-00-9P
459125-01-0P 459125-02-1P 459125-03-2P
459125-04-3P 459125-05-4P 459125-06-5P
459125-07-6P 459125-08-7P 459125-09-8P
459125-10-1P 459125-11-2P 459125-12-3P
459125-13-4P 459125-14-5P 459125-15-6P
459125-16-7P 459125-17-8P 459125-18-9P
459125-19-0P 459125-20-3P 459125-21-4P
459125-22-5P 459125-23-6P 459125-24-7P
459125-25-8P 459125-26-9P 459125-27-0P
459125-28-1P 459125-29-2P 459125-30-5P
459125-31-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylbenzofuran-2-carboxamides as 5-HT1A agonists and 5-HT reuptake inhibitors)
II 163521-19-5
RL: RCT (Reactant); RACI (Reactant or reagent)
(preparation of piperazinylbenzofuran-2-carboxamides as 5-HT1A agonists and 5-HT reuptake inhibitors)
II 459124-98-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylbenzofuran-2-carboxamides as 5-HT1A agonists and 5-HT reuptake inhibitors)
RN 459124-98-2 HCAPLUS
CN 2-Benzofuran-2-carboxamide, N-(2-amino-2-oxoethyl)-5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)



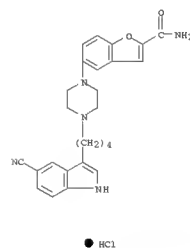
148 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN
AN 2002:391537 HCAPLUS
DN 136:380124
II Veterinary use of combined 5-HT1A agonists and serotonin reuptake inhibitors for the treatment of traumatic and compulsive disorders associated with behavioral stressors
IN Bartoszyk, Gerd
PA Marek Patent GmbH, Germany
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO-----2002040024	A1	20020523	2001WO-EP0011952	20011016 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, ES, FI, GB, GR, GU, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, PG, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, ME, SD, SH, SE, TE, UG, ZM, BW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA-----2428511	A1	20020523	2001CA-002428511	20011016 <--
AU-----2002015027	A	20020527	2002AU-00015027	20011016 <--
EP-----1333832	A1	20030813	2001EP-00098355	20011016 <--
EP-----1333832	B1	20071128		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR-----2001015296	A	20030902	2001BR-000015296	20011016 <--
HU-----2003002751	A2	20031128	2003HU-000002751	20011016 <--
HU-----2003002751	A3	20070628		
JP-----2004513924	T	20040513	2002JP-000542397	20011016 <--
CN-----1222291	C	20051012	2001CN-000810859	20011016 <--
AU-----200215027	B2	20061005	2002AU-00015027	20011016 <--
RU-----2288719	C2	20061210	2003RU-00015431	20011016 <--
ES-----2296820	T3	20080501	2001ES-00098355	20011016 <--
MX-----2003004166	A	20030922	2003MX-000004166	20030812 <--
NO-----2003002148	A	20030513	2003NO-000002148	20030513 <--
US-----20040082594	A1	20040429	2003US-00046573	20030513 <--
IN-----200300745	A	20050204	2003IN-000000745	20030610 <--
ZA-----2003004606	A	20040913	2003ZA-000004606	20030812 <--
RU-----1360697	A1	20060707	2004RU-00013692	20040525 <--
PRAI 2002EP-000124815	M	20021114	<--	
2001WO-EP0011952	M	20011016	<--	

AB The invention discloses the use of combined selective serotonin (5-HT) reuptake inhibitors (SSRIs) and 5-HT1A receptor agonists, in particular 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine, or a physiol. acceptable salt thereof, or 3-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1H-indole-5-carbonitrile, or a physiol. acceptable salt thereof, for the manufacture of a medicament for use in veterinary medicine for the treatment or prophylaxis of self-directed traumatic disorders associated with behavioral stressors and compulsive disorders associated with behavioral stressors.

II 163521-08-2 163521-12-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(veterinary use of combined 5-HT1A agonists and serotonin reuptake inhibitors for treatment of traumatic and compulsive disorders associated with behavioral stressors)
II 163521-08-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(veterinary use of combined 5-HT1A agonists and serotonin reuptake inhibitors for treatment of traumatic and compulsive disorders associated with behavioral stressors)
RN 163521-08-2 HCAPLUS
CN 2-Benzofuran-2-carboxamide, 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

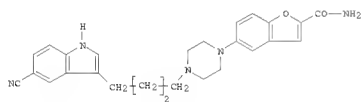
148 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN
AN 2002:391504 HCAPLUS
DN 136:1380120
TI Novel use of combined 5-HT1A agonists and selective serotonin reuptake inhibitors
IN **Bartoszyk, Gard;** Sedman, Ewen
PA **Marck** Patent GmbH, Germany
SO PCT Int. Appl., 34 pp.
CODEN: PIXX22
DT Patent
LA English
FAN.CNT 1

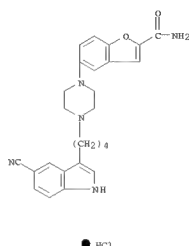
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO-2002039949	A1	20020523	2001NO-EP0012686	20011102 <--
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, ME, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MM, MG, SD, SI, SZ, TE, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
CA-2429236	A1	20020523	2001CA-002429236	20011102 <--
AU-2002021803	A	20020527	2001AU-00021803	20011102 <--
EP-1335716	A1	20030820	2001EP-000996368	20011102 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MW, CZ, AL, TR				
BR-2001015434	A	20010007	2001BR-000015434	20011102 <--
JP-2004513916	T	20040513	2002JP-000542364	20011102 <--
HU-2004000504	A2	20040628	2004HU-00000504	20011102 <--
HU-2004000504	A3	20040628		
CN-2541093	A	20041027	2001CN-000819111	20011102 <--
AU-2002021803	B2	20070115	2001AU-00021803	20011102 <--
NO-20020243	C2	20070710	2003NO-00016493	20011102 <--
MX-2003004341	A	20030819	2003MX-000004341	20030516 <--
NO-2003002248	A	20030519	2003NO-000002248	20030519 <--
US-20040014771	A1	20040122	2003US-000432047	20030519 <--
US-20040014771	B2	20090120		
IN-200300778	A	20060317	2003IN-000000778	20030613 <--
ZA-2003004757	A	20040920	2003ZA-000004757	20030619 <--
PRAI 2000EP-000125409				
2001WO-EP0012686	W	20011102	<--	
GI				



AB The present invention relates to the use of compdr. being combined selective serotonin (5-HT) reuptake inhibitors (SSRIs) and 5-HT1A receptor agonists, in particular of I or a physiol. acceptable salt thereof or 3-[4-[4-(4-cyanophenyl)piperazin-1-yl]butyl]-1H-indole-5-carbonitrile or a physiol. acceptable salt thereof, for the manufacture of a medicament for the treatment of chronic pain disorders or in treating other conditions where there is hyper-sensitization to painful signals, hyperalgesia, allodynia, enhanced pain perception, and enhanced memory of pain, as well as for the treatment of irritable bowel syndrome (IBS), I-HCl reduced writhing in mice at 30 mg/kg orally by 82% in pain-relieving acute analgesic property tests.

TI **163521-08-2 163521-12-8**

L48 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combined 5-HT1A agonists and selective serotonin reuptake inhibitors as analgesics)
IT **163521-08-2**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combined 5-HT1A agonists and selective serotonin reuptake inhibitors as analgesics)
RN 163521-08-2 HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN
AN 2002:293443 HCAPLUS
DN 136:139370
TI Use of defined substances that bind to the sigma receptor for combating sarcoma and carcinoma
IN **Van Amstel, Christoph**
PA **Marck** Patent GmbH, Germany
SO PCT Int. Appl., 36 pp.
CODEN: PIXX22
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO-2002030422	A1	20020418	2001WO-EP0011710	20011011 <--
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, ME, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MM, MG, SD, SI, SZ, TE, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
DE-10050236	A1	20020425	2000DE-100050236	20010111 <--
AU-2002010527	A	20020422	2002AU-000010527	20011011 <--
PRAI 2000DE-100050236	A	20010111	<--	
2001WO-EP0011710	W	20010111	<--	
AB				

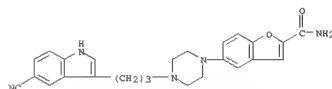
AB The invention relates to the use of a compound, selected from 3-[4-(4-phenyl-1,2,3,6-tetrahydro-1-pyridyl)butyl]indole-5-ol, 1-[2-((4-(4-fluorophenyl)methoxymethyl)-4-(3-phenylpropyl)piperazine, 1-(4-hydroxyphenyl)-2-(4-benzyl-1-piperidyl)propanol, 3-(4-((3S)-3-benzyl-1-piperidyl)butyl)indole-5-carbonitrile, 3-(4-((3R)-3-benzyl-1-piperidyl)butyl)indole-5-carbonitrile, 6-(4-(4-(3-fluoro-3-indolyl)butyl)-1-piperazinyl)-2H-1-benzopyrane-2-one, (5S)-(-)-5-[4-(4-aminobenzyl)-1-piperidylmethyl]-3-(4-ethylphenyl)oxazolidine-2-one, 6-[3-(4-(2,4-difluorobenzyl)-1-piperidyl)-1-oxopropyl]-2,3-dihydrobenzoxazole-2-one, 3-(4-(3-(4-fluorophenyl)-hydroxymethyl)piperido-1-yl)butyl]-5-indole-carbonitrile, 2-(4-[3-(5H-dibenz[b,f]azepine-5-yl)propyl]-1-piperazinyl]ethanol, 1-[2-(3,4-dimethoxyphenyl)ethyl]-4-(3-phenylpropyl)piperazine, (5S)-(-)-5-(4-benzyl-1-piperidylmethyl)-3-(4-chlorophenyl)oxazolidine-2-one, 6-[3-(4-(4-fluorobenzyl)-1-piperidyl)-2-methylpropionyl]-2,3-dihydrobenzoxazole-2-one, (1R,2S)-(-)-4-(3-(4-benzyl-1-piperidino-1-yl)-1-hydroxy-2-methylpropyl)phenol, (E)-4-(3-(4-benzyl-1-piperidino-1-yl)-2-methylpropenyl)phenol, 3-(4-(4-(2,1,3-benzotriazole-5-yl)-1-piperazinyl)butyl)indole-5-carbonitrile, 6-[3-(4-(4-fluorobenzyl)-1-piperidyl)-2-propenyl]-2,3-dihydrobenzoxazole-2-one, 3-(4-(4-trifluoromethylphenoxymethyl)pyrrolidine, 6-[3-(4-(4-fluorobenzyl)-1-piperidyl)-propionyl]-3H-benzothiazole-2-one, 4-[3-(4-fluorobenzyl)piperidino-1-yl]propoxyphenol, [2-(4-methoxy-3-phenethoxy-phenyl)ethyl]dipropylamine, (1S,5R)-3-(2-(2-adamantyl)ethyl)-1,8,8-trimethyl-3-azabicyclo[3.2.1]octane, 6-[3-(4-(2,4-difluorobenzyl)piperidino-1-yl)propionyl]-3H-benzothiazole-2-one, 1-[2-(2-(4-fluoro-phenyl)ethyl)piperidino-4-ylindane-1-ol, 1-[2-(4-fluoro-phenyl)ethyl]-4-(naphthalene-2-sulfinyl)piperidine, 1-(indole-4-yl)-4-(4-(4-fluorophenyl)butyl)piperazine, 3-(4-(2-phenyl-ethyl)-1-piperidyl)-1-butylindole, 2-[4-(4-(3-indolyl)butyl)-1-piperazinyl]benzotriazole, etc., or the corresponding acids, bases, or salts, which may be used as σ -receptor ligands for treating carcinoma or sarcoma.

TI **411242-85-B**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(substances that bind to sigma receptor for combating sarcoma and carcinoma)

TI **411242-85-B**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(substances that bind to sigma receptor for combating sarcoma and carcinoma)

RN 411242-85-B HCAPLUS
CN 2-Benzofurancarboxamide, 5-[4-[3-(5-cyano-1H-indol-3-yl)propyl]-1-

L48 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)
piperazinyl)- (CA INDEX NAME)



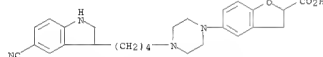
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

148 ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN
AN 2001:454453 HCAPLUS
DN 135:082632
II Studies comparing in vivo/in vitro metabolism of three pharmaceutical compounds in rats, dogs, monkeys, and humans [by using cryopreserved hepatocytes, microsomes, and collagen-gel-immobilized hepatocyte cultures
AU Hewitt, Nicola J.; Buhning, Karl-Ulrich; Dassenbrock, Johannes; Haunschild, Jutta; Ladstetter, Bernhard; Utesch, Dietmar
CS Institute of Toxicology, **Merck KGaA**, Darmstadt, D-64271, Germany
SO Drug Metabolism and Disposition (2001), 29(7), 1042-1050
CODEN: DMDSAT; ISSN: 0090-9556
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB The in vivo metabolism of EMD6843, EMD94785, and EMD128130 was compared in fresh and cryopreserved hepatocyte (CPH) suspensions and microsomes from rat, dog, monkey, and human livers and in fresh human and rat hepatocyte collagen-gel-immobilized cultures (GICs). Half of the major in vivo metabolites were produced by phase 1 metabolism (hydroxylation, oxidation, hydrolysis, N-dealkylation) and half by phase 2 metabolism (mostly glucuronidation but also sulfation and glycine conjugation). The identities and percentages of phase 1 and 2 metabolites of each compound produced in hepatocytes compared well with those in each species in vivo. Glucuronidation was more extensive in GICs than in CPHs. In contrast, CPHs, but not GICs, produced sulfate metabolites. Microsomes (supplemented with NADPH only) produced most of the phase 1 but no phase 2 metabolites. Metabolism by CPHs was the same as that by fresh hepatocyte suspensions. Discrete species differences in metabolism were detected in CPHs and microsomes. The cytochrome P 450 and glucuronosyl S-transferase contents of CPHs did not account for the species differences in the percentage of phase 1 and 2 metabolites or the rate of disappearance of the parent compds. in these cells. These data show a good correlation between major metabolites formed in vivo and in vitro. CPHs and GICs, unlike microsomes, carried out sequential phase 1 and 2 metabolism. Each in vitro system has its own advantages; however, for short-term metabolism studies CPHs may be more useful, since they are readily available, easier and quicker to prepare than GICs, and have more comprehensive enzyme systems than microsomes.

IT 264064-12-0 264064-14-2 264064-15-3
264070-12-9 264070-34-0
RL: BPR (Biological process); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PPOC (Process)
(in vivo vs. in vitro metabolism of EMD 68843 in rats, dogs, monkeys, and humans by cryopreserved hepatocytes, microsomes, and collagen-gel-immobilized hepatocyte cultures as determined by formation of)

II 264064-12-0
RL: BPR (Biological process); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PPOC (Process)
(in vivo vs. in vitro metabolism of EMD 68843 in rats, dogs, monkeys, and humans by cryopreserved hepatocytes, microsomes, and collagen-gel-immobilized hepatocyte cultures as determined by formation of)

RN 264064-12-0 HCAPLUS
CN 2-Benzofuran-3-carboxylic acid, 5-[4-[(4-(5-cyano-2,3-dihydro-1H-indol-3-yl)butyl)-1-piperazinyl]-2,3-dihydro- (CA INDEX NAME)



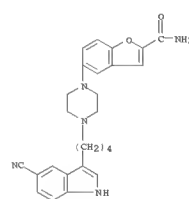
RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

148 ANSWER 17 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN
AN 2001:164199 HCAPLUS
DN 135:441
II Systemic EMD 68843 injections reduce anxiety in the shock-probe, but not the plus-maze test
AU Treit, D.; Degroot, A.; Kashluba, S.; Bartoszyk, G. D.
CS Department of Psychology, University of Alberta, Edmonton, AB, T6G 2E9, Can.
SO European Journal of Pharmacology (2001), 414(2/3), 245-248
CODEN: EJPHAZ; ISSN: 0014-2999
PB Elsevier Science B.V.
DT Journal
LA English
AB Selective serotonin (5-hydroxytryptamine; 5-HT) reuptake inhibitors and 5-HT1A receptor agonists are believed to reduce anxiety. In the present study we examined the effects of injections of 5-[4-[(4-(5-cyano-3-indolyl)-butyl)-1-piperazinyl]-benzofuran-2-carboxamide hydrochloride (EMD 68843), a 5-HT1A receptor agonist and selective 5-HT reuptake inhibitor, in two animal models of anxiety, plus-maze and shock-probe. Rats received i.p. injections of vehicle, diazepam (2.5 mg/kg), or EMD 68843 (10, 20, or 40 mg/kg) 1 h prior to testing. Diazepam at the single dose tested and EMD 68843 dose-dependently (significantly at 20 and 40 mg/kg) reduced burying in shock-probe. However, only diazepam significantly increased open arm exploration in the plus-maze. Therefore, EMD 68843 has task specific anxiolytic properties.

IT 163521-12-8, EMD 68843
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(systemic EMD 68843 injections reduce anxiety in shock-probe, but not plus-maze test)

IT 163521-12-8, EMD 68843
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(systemic EMD 68843 injections reduce anxiety in shock-probe, but not plus-maze test)

RN 163521-12-8 HCAPLUS
CN 2-Benzofuran-3-carboxamide, 5-[4-[(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

148 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN
AN 2000:1861478 HCAPLUS
DN 134:32976
II Novel use of cyanindolylbutyl(carbamoylbenzofuranyl)-piperazine and its physiologically acceptable salts for treatment of anxiety and related disorders
AU Bartoszyk, Gerd; Beyfried, Christoph; Van Amstel, Christoph; Rotzsch, Henning; Sedman, Ewen
CS Merck Patent G.m.b.H., Germany
SO PCT Int. Appl., 37 pp.
CODEN: PXXXX
DT Patent
LA English
FAX.CNT 1

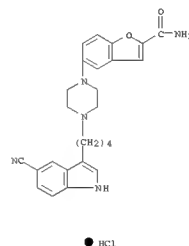
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO-2000072832	A2	200010107	2000WO-EP0004376	20000516 <<
WO-2000072832	A3	20011220		20000516 <<
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GR, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SE, SI, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW-----518218	B	20030121	1997TW-088119892	19991115 <<
CA-----2370668	A1	20001207	2000CA-002370668	20000516 <<
CA-----2615271	A1	20001207	2000CA-002615271	20000516 <<
EP-----1185272	A2	20002013	2000EP-000935031	20000516 <<
EP-----1185272	B1	20040407		20000516 <<
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR-2000010948	A	200020423	2000BR-000010948	20000516 <<
TR-200103361	T2	20020521	2001TR-000003361	20000516 <<
CN-----1361692	A	20020731	2000CN-000080135	20000516 <<
CN-----1198618	C	20050427		20000516 <<
HU-200001275	A2	20000928	2001HU-000001275	20000516 <<
HU-2002001275	A3	20040428		20000516 <<
JP-2003500441	T	20030107	2000JP-000620944	20000516 <<
AU-----771778	B2	20040401	2000AU-000050643	20000516 <<
AZ-----263564	T	20040415	2000AZ-000935031	20000516 <<
EP-----1410800	A1	20040421	2004EP-000001441	20000516 <<
EP-----1410800	B1	20060823		20000516 <<
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
PT-----1185272	T	20040831	2000PT-000935031	20000516 <<
RU-----2237477	C2	20010110	2001RU-000133342	20000516 <<
ES-----2219342	T3	20041201	2000ES-000935031	20000516 <<
US-----6900212	B1	20050531	2001US-000979922	20000516 <<
CZ-----295623	B6	20050914	2001CZ-000045226	20000516 <<
CN-----1679577	T	20050112	2005CN-010054417	20000516 <<
AZ-----337008	T	20060915	2004AZ-000001441	20000516 <<
EP-----1736158	A2	20061227	2006EP-000017231	20000516 <<
EP-----1736158	A3	20070103		20000516 <<
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, LT, LV, RO, SI				
ES-----2271707	T3	20070116	2004ES-000001441	20000516 <<
IL-----146707	A	20070603	2000IL-000146707	20000516 <<
NO-2001005746	A	20011126	2001NO-000005746	20011126 <<
NO-2001005746	B1	20060814		20000516 <<
NO-200101212	A	20000722	2001MX-000012172	20011127 <<
ZA-2001010485	A	20030630	2001ZA-000010485	20011220 <<
IN-200101351	A	20050331	2001IN-000001351	20011221 <<
HK-----1304844	A1	20050509	2003HK-000100617	20030123 <<
US-20050113386	A1	20050526	2004US-000994226	20041123 <<
US-----7371756	B2	20080513		20000516 <<
NO-2006001562	A	20011126	2006NO-000001562	20060406 <<
NO-----354230	B1	20070910		20000516 <<
US-20080119484	A1	20080522	2007US-000946149	20071128 <<
PRAI 1999EP-000109295	A	19990527	<<	
2000CA-002370668	A3	20000516	<<	
2000CN-00080135	A3	20000516	<<	
2000EP-000935031	A3	20000516	<<	
2004EP-00001441	A3	20000516	<<	

148 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN (Continued)
2000WO-EP0004376 W 20000516 <<
2002US-000979922 A3 20020408 <<
2004US-000994226 A3 20041123 <<
AB 1-[4-(5-Cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine (I) or a physiol. acceptable salt thereof is used for the manufacture of a medicament for the treatment of sub-type anxiety disorders chosen from the sub-types panic disorder with or without agoraphobia, obsessive-compulsive spectrum disorders, social phobia, post-traumatic stress disorder, acute stress indication or generalized-anxiety disorder, bipolar disorders, mania, dementia, substance-related disorders, sexual dysfunctions, eating disorders, obesity, anorexia and fibromyalgia. A preferred salt is I hydrochloride. For example, a mixture containing 1 kg I or a physiol. acceptable salt, 4 kg lactose, 1.2 kg potato starch, 0.2 kg talc, and 0.1 kg Mg stearate was tableted in the customary manner in such a way that each tablet comprises 10 mg of active ingredient.

IT 163521-08-2 163521-12-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comps. of cyanindolylbutyl(carbamoylbenzofuranyl)-piperazine and its salts for treatment of anxiety and related disorders)

IT 163521-08-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comps. of cyanindolylbutyl(carbamoylbenzofuranyl)-piperazine and its salts for treatment of anxiety and related disorders)

RN 163521-08-2 HCAPLUS
CN 2-Benzofuran-3-carboxamide, 5-[4-[(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

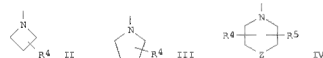
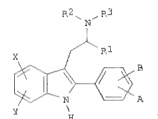


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STM
 AN 1999:184232 HCAPLUS
 DN 130:237469
 TI Preparation of phenylindoles as 5-HT_{2A} receptor ligands
 IN Castro Pina, Jose Luis; Butchins, Steven Michael; Lewis, Stephen John; Rowley, Michael; Smith, Adrian Leonard; Stevenson, Graeme Irvine
 PA **Marck** Sharp & Dohme Limited, UK
 SO PCT Int. Appl., 83 pp.
 CODEN: PEXX22
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
W0-----5911619	A1	19990311	1998W0-GB0002616	19980901 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KR, KG, KP, KZ, LA, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SE, SG, SZ, TW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LJ, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, CA, GH, GM, GU, ML, NG, NE, SN, TD, TG				
AU-----9888766	A	19990322	1998AU-000088766	19980901 <--
US-----6486153	B1	20021126	2000US-000508046	20000303 <--
PRAI 1997GB-000018823	A	19970904	<--	
1998W0-GB0002616	W	19980901	<--	

OS MARPAT 130:237469
 GI



AB The title compds. [I; A, B = H, halo, CN, etc.; X, Y = H, halo, alkyl, etc.; R1 = H, alkyl; R2 = H, Me, Et, etc.; R3 = alkyl, alkenyl, cycloalkyl, etc.; NR2R3 = II-IV, etc.; R4 = H, alkyl, alkoxyalkyl, etc.; R5 = H, alkyl, alkoxyalkyl; Z = O, S, NR6, CR7R8; R6 = H, alkyl, alkenyl, etc.; R7 = H, alkyl, heterocyclyl, etc.; R8 = H, Ph, AcO], selective antagonists of the human 5-HT_{2A} receptor and therefore useful as pharmaceutical agents, especially in the treatment and/or prevention of adverse neurol. conditions, including psychotic disorders such as schizophrenia, were prepared e.g., a multi-step synthesis of I [A, B, X, Y = H; R1 = H; NR2R3 = piperidinol], was given. Prepared compds. I were all found to possess a Ki of ≤ 100 nM for displacement of [3H]-ketanserin from the human 5-HT_{2A} receptor, when expressed in Chinese hamster ovary (CHO) clonal cell lines.

II 221282-03-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

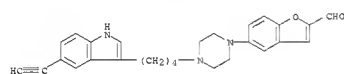
L48 ANSWER 20 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STM
 AN 1997:177658 HCAPLUS
 DN 126:272161
 OREF 126:52581a,52584a
 TI EMD 68843, a serotonin reuptake inhibitor with selective presynaptic 5-HT_{2A} receptor agonistic properties
 AU **Bartoosky, Gerd D.**; Regenbart, Rainer; Ziegler, Herbert
 CS Department of CNS-Research, CNS-Pharmacology, **Marck** KGaA, Darmstadt, D-64271, Germany
 SO European Journal of Pharmacology (1997), 322(2/3), 147-153
 CODEN: EJPHAS; ISSN: 0014-2999
 PB Elsevier
 DT Journal
 LA English

AB The 5-HT_{2A} receptor agonist 8-hydroxy-(di-n-propylamino)tetralin (8-OH-DPAT; 0.55 mg/kg s.c.) and the 5-HT (5-hydroxytryptamine, serotonin) reuptake inhibitor/5-HT_{2A} receptor ligand 5-[4-(4-(3-cyano-3-indolyl)-butyl)-1-piperazinyl]-benzofuran-2-carboxamide (EMD 68843; 55 mg/kg p.o.) inhibited ultrasonic vocalization in rats, an effect which was antagonized by the 5-HT_{2A} receptor antagonist N-[5-[4-(2-methoxyphenyl)-1-piperazinyl]-ethyl]-N-(2-pyridyl)-cyclohexanecarboxamide (WAY 100635; 1.0 mg/kg s.c.). 8-OH-DPAT decreased body temperature in rats, an effect which was also antagonized by WAY 100635, whereas EMD 68843 neither affected body temperature by itself nor interacted with 8-OH-DPAT or WAY 100635. The selective 5-HT reuptake inhibitor fluoxetine (100 mg/kg p.o.) had no effect on ultrasonic vocalization or body temperature. Therefore EMD 68843 is suggested to be a 5-HT_{2A} receptor agonist selective for presynaptic 5-HT_{2A} receptors.

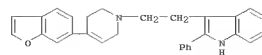
II 188919-57-5
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

II 188919-57-5
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

RN 188919-57-5 HCAPLUS
 CN 2-benzofuran-2-carboxaldehyde, 5-[4-[4-(5-ethynyl-2H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



L48 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STM (Continued)
 (prepn of phenylindoles as 5-HT_{2A} receptor ligands)
 II 221282-03-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of phenylindoles as 5-HT_{2A} receptor ligands)
 RN 221282-03-7 HCAPLUS
 CN 1H-Indole, 3-[2-[4-(6-benzofuran-3-yl)-3,6-dihydro-1(2H)-pyridinylethyl]-2-phenyl]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2009 ACS ON STM
 AN 1995:586488 HCAPLUS
 DN 123:9463
 OREF 123:1991a
 TI Preparation of (indolylalkyl)piperidines and -piperazines as drugs.
 IN Boettcher, Henning; Seyfried, Christoph; Bartoosky, Gerd
 PA **Marck** Patent G.m.b.H., Germany
 SO Ger. Offen., 12 pp.
 CODEN: GWXXBK
 DT Patent
 LA German
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE-----4333254	A1	19950406	1993DE-004333254	19930930 <--
EP-----648767	A1	19950419	1994EP-000114798	19940920 <--
EP-----648767	B1	19970528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LJ, LU, NL, PT, SE				
AT-----153663	T	19970615	1994AT-000114798	19940920 <--
ES-----2105454	T3	19970106	1994ES-000114798	19940920 <--
AU-----9474244	A	19950413	1994AU-000074244	19940927 <--
AU-----679774	B2	19970710		
CN-----1106931	A	19950816	1994CN-000116585	19940927 <--
CN-----1056610	C	20000920		
CA-----2133152	C	19950331	1994CA-002133152	19940928 <--
CA-----2133152	A1	19950331		
JP-----07149762	A	19850613	1994JP-000233538	19940928 <--
JP-----4065034	B2	20080319		
PL-----178137	B1	20000331	1994PL-000305216	19940928 <--
CD-----293558	B6	20040616	1994CD-000002370	19940928 <--
ZA-----9407622	A	19950516	1994ZA-000007622	19940929 <--
HU-----718033	A2	19960228	1994HU-000002806	19940929 <--
HU-----218918	B	20001228		
US-----5532241	A	19960702	1994US-000314734	19940929 <--
RU-----212848	C1	19990710	1994RU-000035660	19940929 <--
NO-----106948	B1	20000117	1994NO-000003616	19940929 <--
SE-----281793	B6	20010806	1994SE-000001184	19940929 <--
JP-----2007119502	A	20070517	2007JP-000034671	20070215 <--
PRAI 1993DE-004333254	A	19930930	<--	
1994JP-000233538	A3	19940928	<--	

OS MARPAT 123:9463
 GI



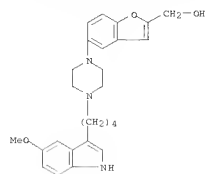
AB Title compds. [I; X = (HO-, alkoxy-, cyano-, halo-, R2CO-, R2CH2-substituted) 3-indolyl; R1 = (cyano-, HOCH2-, alkoxyethyl-, R2CO-substituted) benzofuran-5-yl, 2,3-dihydrobenzofuran-5-yl, chroman-6-yl, chroman-4-on-5-yl, 3-chroman-6-yl, chroman-4-on-6-yl; Q = (CH2)m; m = 2, N, CR3; R2 = OH, alkoxy, amino; R3 = H, OH, alkoxy; m = 2-4], were prepared having 5-HT_{1A} agonist activity, etc. (no data). Thus, 3-(4-chlorobutyl)-5-methoxyindole and 1-(2-hydroxymethylbenzofuran-5-yl)piperazine were refluxed in MeCN to give 1-[4-(5-methoxyindol-3-yl)butyl]-4-(2-hydroxymethylbenzofuran-5-yl)piperazine.

II 163521-02-6P 163521-03-7P 163521-06-0P 163521-07-1P 163521-08-2P 163521-09-3P 163521-11-7P 163521-12-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of (indolylalkyl)piperidines and -piperazines as drugs)

II 163521-18-4 163521-19-5
 RL: RCT (Reactant); PACT (Reactant or reagent)
 (preparation of (indolylalkyl)piperidines and -piperazines as drugs)

II 163521-02-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

148 ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STM (Continued)
(prepn. of (indolylalkyl)piperidines and -piperazines as drugs)
RN 163521-02-6 HCAPLUS
CN 2-Benzofuranmethanol, 5-[4-[4-(5-methoxy-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 15:27:33 ON 11 MAY 2009)

FILE 'HCAPLUS' ENTERED AT 15:27:46 ON 11 MAY 2009

L1 1 US20070099933 /PN

FILE 'REGISTRY' ENTERED AT 15:27:52 ON 11 MAY 2009

FILE 'HCAPLUS' ENTERED AT 15:27:52 ON 11 MAY 2009

L2 TRA L1 1- RN : 13 TERMS

FILE 'REGISTRY' ENTERED AT 15:27:52 ON 11 MAY 2009

L3 13 SEA L2

L4 STR

L5 0 L4

L6 9239 NC4-C6/ES AND OC4-C6/ES

L7 12 L4 SAM SUB=L6

L8 192 L4 FULL SUB=L6

SAV TEM J734C1/A L8

L9 10 L8 AND L3

L10 182 L8 NOT L9

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L11 40 L9

L12 25 L10

E HEINRICH T/AU

L13 25 E3-4

E HEINRICH TIMO/AU

L14 42 E3

E BOTTCHER H/AU

L15 102 E3-6

E BOTTCHER HENNING/AU

L16 9 E3

E SCHIEMMAN K/AU

E SCHIEMANN K/AU

L17 51 E3-4

E HOLZEMANN G/AU

L18 17 E3-5

E AMSTERDAN C/AU

E VAN AMSTERDAN C/AU

E AMSTERDAM C/AU

L19 2 E4-5

E VAN AMSTERDAM C/AU

L20 53 E3-6

E BARTOSZYK G/AU

L21 123 E4-8

E LEIBROCK J/AU

L22 43 E3-5

E SEYFRIED C/AU

L23 231 E3-6,E12-14

L24 36253 MERCK/CS,PA

L25 11 L11 AND L13-23

L26 11 L11 AND L24

L27 7 L25 AND L26

L28 4 L25 NOT L27

L29 16 L12 AND L13-24

L30 12 L12 AND L13-23

L31 12 L30 AND L29

L32 4 L29 NOT L31

L33 13 L12 NOT L31

L34 13 L32-33

L35 33 L11 NOT L27

L36 33 L35,L28

L37 43 L34,L36

L38 QUE PRD<=20040524 OR AD<=20040524 OR PD<=20040524

L39 QUE PD<=20030524
L40 18 L27,L29
L41 8 L28,L32
L42 17 L40 AND L38-39
L43 5 L41 AND L38-39
L44 9 L34 AND L38-39
L45 22 L36 AND L38-39
L46 29 L44,L45
L47 19 L42,L43
L48 21 L25,L47

FILE 'REGISTRY' ENTERED AT 17:33:00 ON 11 MAY 2009

L49 61 E1-61
L50 98 E1-98

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